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Title of the Thesis: Synthesis, characterization of some heterocyclic compounds and their screening against *E. histolytica*

Abstract

The present research work deals with the synthesis, characterization of some heterocyclic compounds and their screening against *E. histolytica*. Thesis comprises of six chapters.

Chapter-1 defines the objectives of the present research work.

Chapter-2 describes the synthesis, characterization of some 1, 2, 4-triazole derivatives and their *in vitro* anti-amoebic activity against HM1: IMSS strain of *E. histolytica*. A series of Schiff base derivatives of 4-Amino-5-phenyl-4H-1, 2, 4-triazole-3-thiol was synthesized by multistep reaction. Among all the compounds, four compounds having methyl, methoxy, nitro and dimethylamino group at *para* position of the phenyl ring were found better inhibitors of *E. histolytica* than the reference drug metronidazole and non-cytotoxic against human breast cancer MCF-7 cell line in the concentration range 2.5- 250 μM.

Chapter-3 deals with the synthesis, characterization and antiamoebic activity of hydrazone and azole derivatives bearing pyridyl moiety. Three hydrazones and two azole derivatives showed better antiamoebic activity than metronidazole and these were non-cytotoxic against human breast cancer MCF-7 cell line. The results showed that although all the compounds shared a commom feature: the presence of pyridyl ring yet they were found differ in their corresponding antiamoebic activity.

Chapter-4 presents the synthesis, characterization and antiamoebic activity of 1, 3, 4-Thiadiazole derivatives. Among all the compounds, only three compounds were found more active than metronidazole and non-cytotoxic against the human breast cancer MCF-7 cell line.

Chapter-5 deals with the synthesis, characterization and antiamoebic activity of 1, 3, 4-Oxadiazole derivatives. A series of Mannich base derivatives of 5-(Pyridine-4-yl)-1, 3, 4- oxadiazole-2-(3H)-thione incorporating piperazine ring was synthesized and characterized by spectral data. Among all the target compounds, only three compounds were found to be more active and less cytotoxic than the standard drug metronidazole.

Chapter-6 describes the synthesis, characterization and antiamoebic activity of Pyrazolo [3, 4-d] pyrimidine derivatives. Biological data revealed that among all the screened compounds, only two compounds exhibited IC_{50} values less than the standard drug metronidazole and therefore were considered to be active. Further, both of these compounds were found non-cytotoxic against the human breast cancer MCF-7 cell line.

Conclusion

In the search for potent antiamoebic agents, various heterocyclic compounds were synthesized and screened *in vitro* against HM1: IMSS strain of *E. histolytica* by microdilution method. Only seventeen compounds were found better inhibitors of *E. histolytica* than the reference drug metronidazole and non-cytotoxic against human breast cancer MCF-7 cell line. Therefore, these studies might stimulate further efforts towards the development of novel drugs with better amoebicidal activity and lesser cytotoxicity for the host.